

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSPTANXR1625

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	JAN 02	STN pricing information for 2008 now available
NEWS	3	JAN 16	CAS patent coverage enhanced to include exemplified prophetic substances
NEWS	4	JAN 28	USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats
NEWS	5	JAN 28	MARPAT searching enhanced
NEWS	6	JAN 28	USGENE now provides USPTO sequence data within 3 days of publication
NEWS	7	JAN 28	TOXCENTER enhanced with reloaded MEDLINE segment
NEWS	8	JAN 28	MEDLINE and LMEDLINE reloaded with enhancements
NEWS	9	FEB 08	STN Express, Version 8.3, now available
NEWS	10	FEB 20	PCI now available as a replacement to DPCI
NEWS	11	FEB 25	IFIREF reloaded with enhancements
NEWS	12	FEB 25	IMSPRODUCT reloaded with enhancements
NEWS	13	FEB 29	WPINDEX/WPIDS/WPIX enhanced with ECLA and current U.S. National Patent Classification
NEWS	14	MAR 31	IFICDB, IFIPAT, and IFIUDB enhanced with new custom IPC display formats
NEWS	15	MAR 31	CAS REGISTRY enhanced with additional experimental spectra
NEWS	16	MAR 31	CA/CAPLUS and CASREACT patent number format for U.S. applications updated
NEWS	17	MAR 31	LPCI now available as a replacement to LDPCI
NEWS	18	MAR 31	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS	19	APR 04	STN AnaVist, Version 1, to be discontinued
NEWS	20	APR 15	WPIDS, WPINDEX, and WPIX enhanced with new predefined hit display formats
NEWS EXPRESS	FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3, AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008		
NEWS HOURS	STN Operating Hours Plus Help Desk Availability		
NEWS LOGIN	Welcome Banner and News Items		
NEWS IPC8	For general information regarding STN implementation of IPC 8		

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 15:52:58 ON 17 APR 2008

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 15:53:08 ON 17 APR 2008

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2008 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 15 APR 2008 HIGHEST RN 1015083-77-8

DICTIONARY FILE UPDATES: 15 APR 2008 HIGHEST RN 1015083-77-8

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

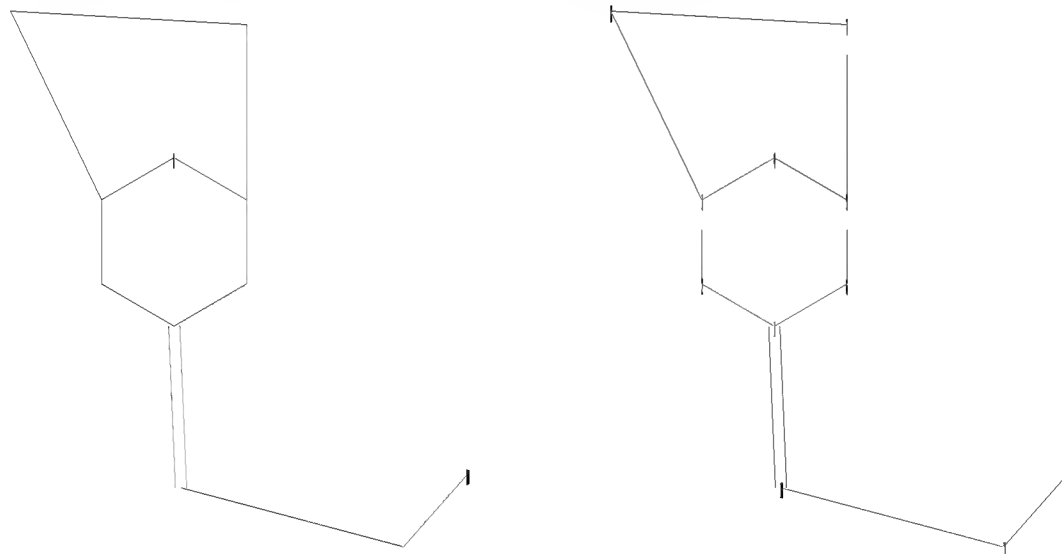
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10575837.str



chain nodes :

10 11 12

ring nodes :

1 2 3 4 5 6 7 8

chain bonds :

1-10 10-11 11-12

ring bonds :
1-2 1-6 2-3 3-4 3-8 4-5 5-6 5-7 7-8
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 11-12
exact bonds :
1-10 3-8 5-7 7-8 10-11
isolated ring systems :
containing 1 :

Match level :

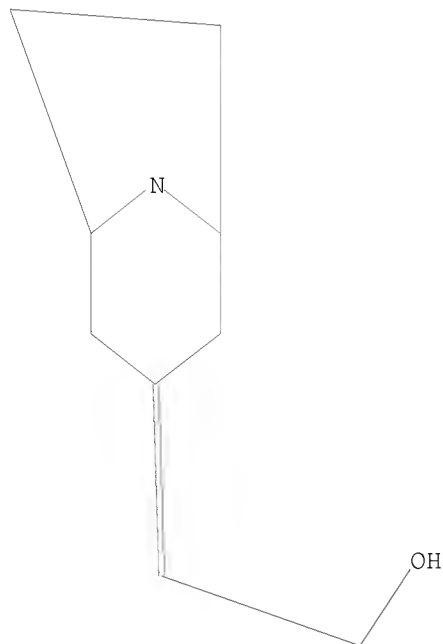
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 10:CLASS 11:CLASS
12:CLASS

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 15:53:33 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 87 TO ITERATE

100.0% PROCESSED 87 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 1181 TO 2299

PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> s l1

SAMPLE SEARCH INITIATED 15:53:37 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 87 TO ITERATE

100.0% PROCESSED 87 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 1181 TO 2299

PROJECTED ANSWERS: 1 TO 80

L3 1 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 15:53:41 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 1546 TO ITERATE

100.0% PROCESSED 1546 ITERATIONS

32 ANSWERS

SEARCH TIME: 00.00.01

L4 32 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

178.36

178.57

FILE 'CAPLUS' ENTERED AT 15:53:47 ON 17 APR 2008

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 17 Apr 2008 VOL 148 ISS 16

FILE LAST UPDATED: 16 Apr 2008 (20080416/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/infopolicy.html>

=> s l4 full

L5 16 L4

=> d ibib abs hitstr tot

L5 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:110254 CAPLUS

DOCUMENT NUMBER: 148:331350

TITLE: Design and Synthesis of Potent Antileishmanial
Cycloalkylidene-Substituted Ether Phospholipid
Derivatives

AUTHOR(S): Calogeropoulou, Theodora; Angelou, Panagiotis; Detsi,
Anastasia; Fragiadaki, Irene; Scoulica, Effie

CORPORATE SOURCE: Institute of Organic and Pharmaceutical Chemistry,
National Hellenic Research Foundation, Athens, 11635,
Greece

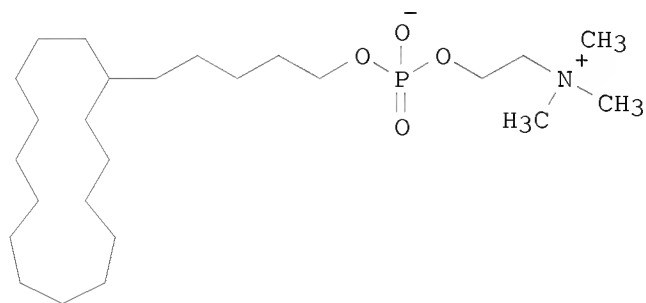
SOURCE: Journal of Medicinal Chemistry (2008), 51(4), 897-908
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I

AB Two series of novel ether phospholipids (EPs) have been synthesized. The first includes cyclodecylidene- or cyclopentadecylidene-substituted EPs carrying N,N,N-trimethylammonium or N-methylpiperidino or N-methylmorpholino head groups. The second series encompasses more rigid head groups in combination with cycloalkylidene moieties in the lipid portion. In addition, hydrogenated derivs. were obtained. All the new analogs except one were 1.5- to 62-fold more potent than miltefosine against the intracellular *L. infantum*, and the most active ones were also less cytotoxic against the human monocytic cell line THP1 and less hemolytic than miltefosine. Some analogs combine high potency with low cytotoxicity and hemolytic activity. Cyclopentadecylpentylphosphocholine I possesses an IC₅₀ of 0.7 μ M against *L. infantum* amastigotes and is the least cytotoxic analog, since it does not present toxicity against THP1 macrophages, even at a concentration that is 800-fold the antiparasitic

IC₅₀ value, and does not present significant hemolytic activity.

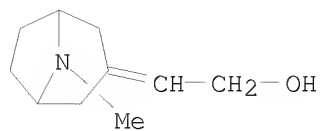
IT 380601-96-7P 1011461-49-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of alkyl ammonium toluene sulfonates in the preparation and antileishmanial activity of cycloalkylidene- or alkyl-substituted ether phospholipid ammonium salts)

RN 380601-96-7 CAPLUS

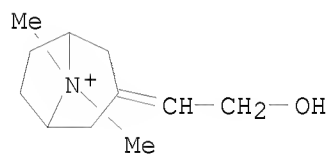
CN Ethanol, 2-(8-methyl-8-azabicyclo[3.2.1]oct-3-ylidene)- (CA INDEX NAME)



RN 1011461-49-6 CAPLUS
 CN 8-Azoniabicyclo[3.2.1]octane, 3-(2-hydroxyethylidene)-8,8-dimethyl-,
 4-methylbenzenesulfonate (1:1) (CA INDEX NAME)

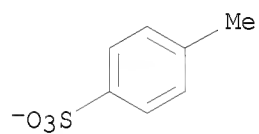
CM 1

CRN 1011461-48-5
 CMF C11 H20 N O



CM 2

CRN 16722-51-3
 CMF C7 H7 O3 S



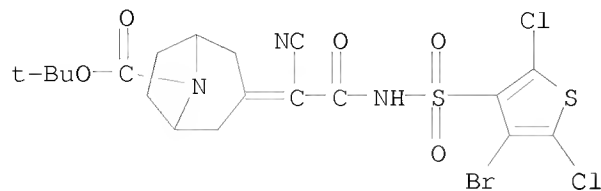
REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN

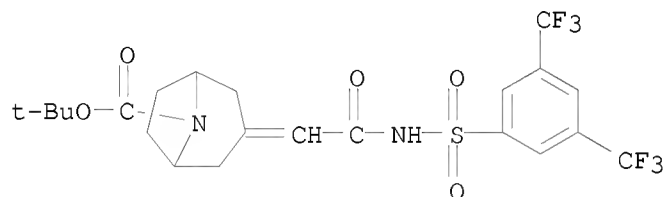
ACCESSION NUMBER: 2006:978901 CAPLUS
DOCUMENT NUMBER: 145:348596
TITLE: Combination of a steroid sulfatase inhibitor and an
ascomycin for the treatment of inflammatory disorders
INVENTOR(S): Meingassner, Josef, Gottfried
PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma GmbH
SOURCE: PCT Int. Appl., 104pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006097293	A2	20060921	WO 2006-EP2383	20060315
WO 2006097293	A3	20061221		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
AU 2006224797	A1	20060921	AU 2006-224797	20060315
CA 2600329	A1	20060921	CA 2006-2600329	20060315
EP 1861099	A2	20071205	EP 2006-723452	20060315
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
IN 2007DN06446	A	20070831	IN 2007-DN6446	20070820
CN 101137374	A	20080305	CN 2006-80007968	20070912
MX 200711434	A	20071012	MX 2007-11434	20070914
KR 2007112183	A	20071122	KR 2007-721074	20070914
PRIORITY APPLN. INFO.:			GB 2005-5539	A 20050317
			WO 2006-EP2383	W 20060315
AB	A combination of a steroid sulfatase inhibitor and an ascomycin is prepd for the treatment of inflammatory disorders. Thus, 6.1 mL of a 50% propanephosphoric acid anhydride solution in DMF, 633 mg of N,N-dimethylaminopyridine in 50 mL of dimethylamine and 1.8 mL of diisopropylethylamine were added to a solution of 1.5 g of 8-aza-bicyclo[4.3.1]decane-8,10-dicarboxylic acid 8-tert-Bu ester, and 2.3 g of 3,5-bis(trifluoromethyl)phenylsulfonamide, the mixture obtained was stirred at 40° and diluted with EtAc. The mixture was distilled and the residue obtained was purified to obtain 10-(3,5-Bis-trifluoromethylbenzenesulfonylamino-carbonyl)-8-aza-bicyclo[4.3.1]decane-8-carboxylic acid tert-Bu ester in the form of a sodium salt which was treated with HCl to obtain the ester form (I). Efficacy of a combination of I and ascomycin in the treatment of skin inflammation in mice is shown.			
IT	512821-16-8P 512821-27-1P 512821-29-3P 512821-30-6P 512821-31-7P 512821-32-8P 512821-33-9P 512821-34-0P 512821-35-1P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (combination of steroid sulfatase inhibitor and ascomycin for treatment of inflammatory disorders)			

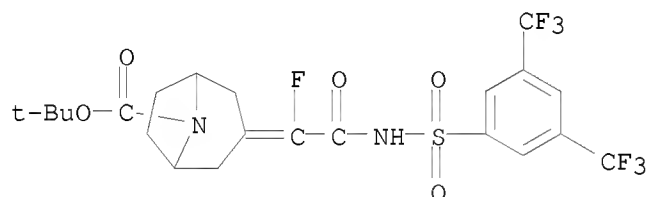
RN 512821-16-8 CAPLUS
 CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-[2-[[4-bromo-2,5-dichloro-3-thienyl)sulfonyl]amino]-1-cyano-2-oxoethylidene]-, 1,1-dimethylethyl ester (CA INDEX NAME)



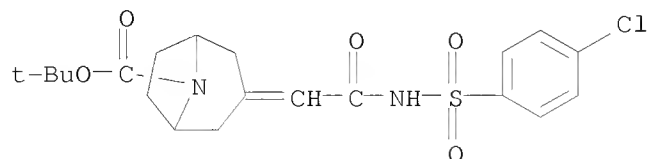
RN 512821-27-1 CAPLUS
 CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-[2-[[[3,5-bis(trifluoromethyl)phenyl)sulfonyl]amino]-2-oxoethylidene]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 512821-29-3 CAPLUS
 CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-[2-[[[3,5-bis(trifluoromethyl)phenyl)sulfonyl]amino]-1-fluoro-2-oxoethylidene]-, 1,1-dimethylethyl ester (CA INDEX NAME)

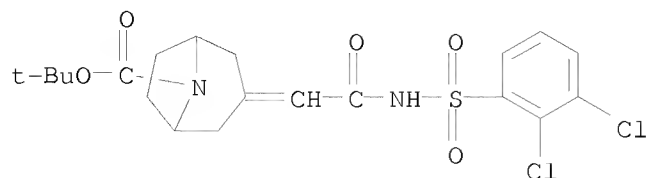


RN 512821-30-6 CAPLUS
 CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-[2-[[4-chlorophenyl)sulfonyl]amino]-2-oxoethylidene]-, 1,1-dimethylethyl ester (CA INDEX NAME)



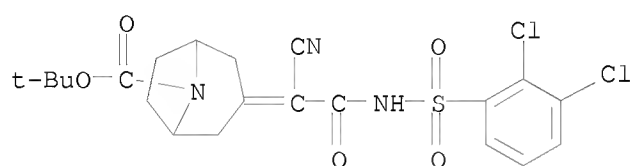
RN 512821-31-7 CAPLUS
 CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-[2-[[2,3-dichlorophenyl)sulfonyl]amino]-2-oxoethylidene]-, 1,1-dimethylethyl ester

(CA INDEX NAME)



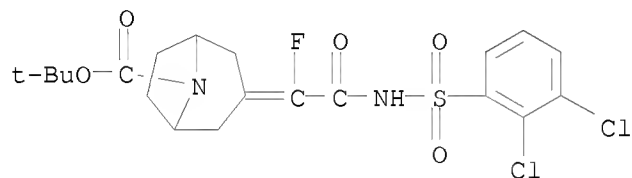
RN 512821-32-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-[1-cyano-2-[[2,3-dichlorophenyl)sulfonyl]amino]-2-oxoethylidene]-, 1,1-dimethylethyl ester (CA INDEX NAME)



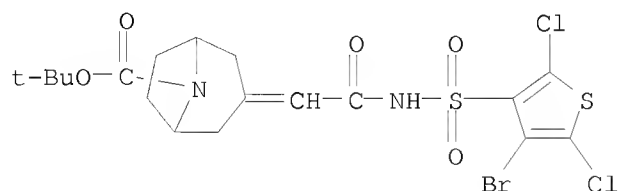
RN 512821-33-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-[2-[[2,3-dichlorophenyl)sulfonyl]amino]-1-fluoro-2-oxoethylidene]-, 1,1-dimethylethyl ester (CA INDEX NAME)



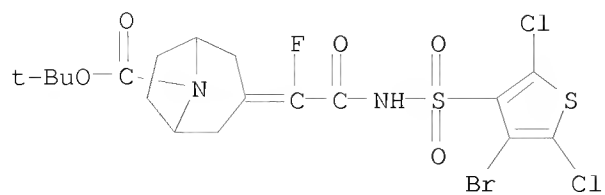
RN 512821-34-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-[2-[[4-bromo-2,5-dichloro-3-thienyl)sulfonyl]amino]-2-oxoethylidene]-, 1,1-dimethylethyl ester (CA INDEX NAME)

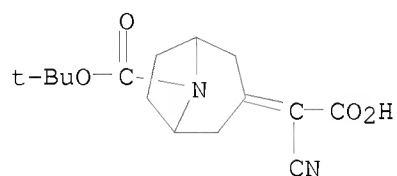


RN 512821-35-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-[2-[[4-bromo-2,5-dichloro-3-thienyl)sulfonyl]amino]-2-oxoethylidene]-, 1,1-dimethylethyl ester (CA INDEX NAME)



IT 512822-38-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (combination of steroid sulfatase inhibitor and ascomycin for treatment
 of inflammatory disorders)
 RN 512822-38-7 CAPLUS
 CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-(carboxycyanomethylene)-,
 8-(1,1-dimethylethyl) ester (CA INDEX NAME)



L5 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:976823 CAPLUS

DOCUMENT NUMBER: 145:356656

TITLE: Preparation of (hetero)arylsulfonamides as steroid sulfatase inhibitors for treatment of inflammatory diseases

INVENTOR(S): Meingassner, Josef Gottfried

PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma GmbH

SOURCE: PCT Int. Appl., 104pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

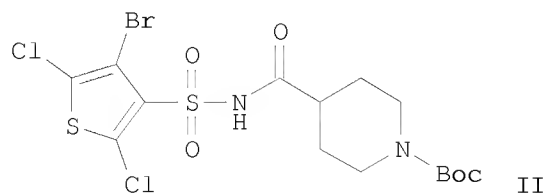
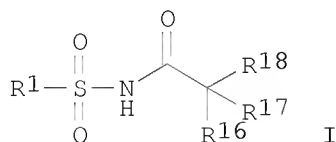
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006097292	A1	20060921	WO 2006-EP2382	20060315
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006224796	A1	20060921	AU 2006-224796	20060315
CA 2599470	A1	20060921	CA 2006-2599470	20060315
EP 1861098	A1	20071205	EP 2006-707567	20060315
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
IN 2007DN06443	A	20070831	IN 2007-DN6443	20070820
CN 101137375	A	20080305	CN 2006-80008024	20070912
MX 200711320	A	20071108	MX 2007-11320	20070914
KR 2007113226	A	20071128	KR 2007-721073	20070914
PRIORITY APPLN. INFO.:			GB 2005-5541	A 20050317
			WO 2006-EP2382	W 20060315

GI

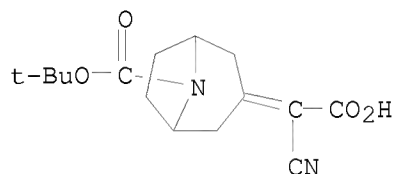


AB Title compds. represented by the formula I [wherein R1 = haloalkyl, (un)substituted alkenyl, Ph, thienyl, etc.; R16 = H, R17R18 = (un)substituted piperidiny1, cycloalkyl, bridged cycloalkyl, etc.] were prepared as steroid sulfatase inhibitors. For example, II was provided in a multi-step synthesis starting from 4-bromo-2,5-dichlorothiophene-3-sulfonyl chloride. I showed activity in human steroid sulfatase assay (IC50 = 0.0046 ~ 10), in CHO/STS assay (IC50 = 0.05 ~ 10) and in human skin homogenate (IC50 = 0.03 ~ 10 μ M). The use of a steroid sulfatase inhibitor in the preparation of a medicament for the treatment of inflammatory diseases.

IT 512822-38-7P, 3-(Carboxy-1-cyanomethylene)-8-azabicyclo[3.2.1]octane-8-carboxylic acid tert-butyl ester
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of (hetero)arylsulfonamide derivs. as steroid sulfatase inhibitors for treatment of inflammatory diseases)

RN 512822-38-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-(carboxycyanomethylene)-, 8-(1,1-dimethylethyl) ester (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1026605 CAPLUS

DOCUMENT NUMBER: 143:326374

TITLE: Preparation of tetrahydroquinoline analogs such as benzoxazinones as muscarinic agonists useful against mental and other disorders

INVENTOR(S): Skjaerbaek, Niels; Koch, Kristian Norup; Friberg, Bo Lennart Mikael; Tolf, Bo-Ragnar

PATENT ASSIGNEE(S): Den.

SOURCE: U.S. Pat. Appl. Publ., 74 pp., Cont.-in-part of U.S. Ser. No. 329,455.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

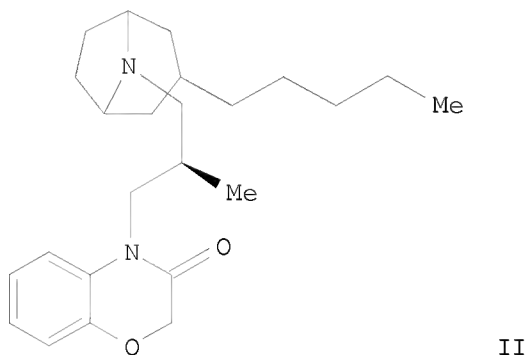
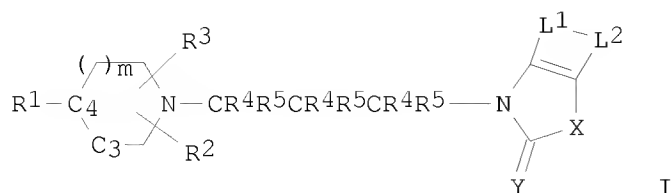
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050209226	A1	20050922	US 2004-19556	20041221
US 20030176418	A1	20030918	US 2002-329455	20021223
US 7307075	B2	20071211		
AU 2005319426	A2	20060629	AU 2005-319426	20051215
AU 2005319426	A1	20060629		
CA 2591766	A1	20060629	CA 2005-2591766	20051215
WO 2006068904	A1	20060629	WO 2005-US45313	20051215
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
EP 1828176	A1	20070905	EP 2005-854098	20051215
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
US 20060199813	A1	20060907	US 2006-417865	20060503
US 20060199810	A1	20060907	US 2006-417867	20060503
MX 200707588	A	20070808	MX 2007-7588	20070621
NO 2007003183	A	20070917	NO 2007-3183	20070621
IN 2007MN01046	A	20070817	IN 2007-MN1046	20070712
KR 2007090003	A	20070904	KR 2007-715954	20070712
CN 101124222	A	20080213	CN 2005-80048487	20070820
PRIORITY APPLN. INFO.:			US 2001-344722P	P 20011228
			US 2002-329455	A2 20021223
			US 2004-19556	A 20041221
			WO 2005-US45313	W 20051215

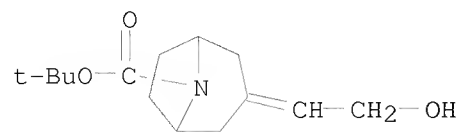
OTHER SOURCE(S): MARPAT 143:326374

GI



- AB The present invention relates to tetrahydroquinoline compds. (shown as I; variables defined below; e.g. II) as muscarinic receptor agonists (especially the M1 and M4 subtypes); compns. comprising the same; methods of inhibiting an activity of a muscarinic receptor with said compds.; methods of treating a disease condition associated with a muscarinic receptor using said compds.; and methods for identifying a subject suitable for treatment using said compds. Some of the compds. of the invention also exhibit functional dopamine antagonism. Values for %efficacy and pEC50 are tabulated for about 25 examples of I for M1-M5 muscarinic receptors showing selectivity towards M1 and M4 subtypes. For I: R1 = (un)substituted C1-6-alkyl, C2-6-alkylidene, C2-6-alkenyl, C2-6-alkynyl, O-C1-6-alkyl, O-C2-6-alkenyl, O-C2-6-alkynyl, S-C1-6-alkyl, S-C2-6-alkenyl, or S-C2-6-alkynyl; m = 0-2; C3-C4 is CH2-CH or CH=C or C4 is CH and C3 is absent; R2 and R3 = H, (un)substituted C1-6 alkyl, (un)substituted O-C1-6 alkyl, halogen, hydroxy or selected such that R2 and R3 together form a ring system; each R4 and R5 = H, halogen, hydroxy, (un)substituted C1-6-alkyl, (un)substituted O-C1-6-alkyl, (un)substituted aryl-C1-6alkyl, and (un)substituted arylheteroalkyl. L1 and L2 are biradicals independently = -C(R6):C(R7), -C(R6):N-, -N:C(R6)-, -S-, -NH- and -O-; wherein only one of L1 and L2 may be -S-, -NH- and -O-; Y = O, S, and H2; X is a biradical = -C(R6)(R7)-C(R6)(R7)-, -C(R6):C(R7)-, -OC(R6)(R7)-, C(R6)(R7)O-, -SC(R6)(R7)-, -C(R6)(R7)S-, -N(RN)C(R6)(R7)-, -C(R6)(R7)N(RN)-, -C(R6)(R7)C(R6)(R7)C(R6)(R7)-, -O-C(R6)(R7)C(R6)(R7)-, SC(R6)(R7)C(R6)(R7)-, N(RN)C(R6)(R7)C(R6)(R7)-, -C(R6)(R7)C(R6)(R7)O-, -C(R6)(R7)C(R6)(R7)S-, -C(R6)(R7)-C(R6)(R7)-N(RN)-, -C(R6)(R7)C(R6):C(R7)-, and -C(R6):C(R7)C(R6)(R7), wherein R6 and R7 = H, halogen, hydroxy, nitro, cyano, NRNRN, N(RN)C(O)N(RN), (un)substituted C1-6-alkyl, C2-6-alkenyl, C2-6-alkynyl, (un)substituted OC1-6-alkyl, (un)substituted O-aryl, (un)substituted O-C2-6-alkenyl, (un)substituted OC2-6-alkynyl wherein RN = H, and (un)substituted C1-6-alkyl. Although the methods of preparation are not claimed, many example preps. of intermediates and I are included.
- IT 257628-74-3P, 3-(2-Hydroxyethylidene)-8-azabicyclo[3.2.1]octane-8-carboxylic acid tert-butyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tetrahydroquinoline analogs such as benzoxazinones as muscarinic agonists useful against mental and other disorders)
- RN 257628-74-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-(2-hydroxyethylidene)-,
1,1-dimethylethyl ester (CA INDEX NAME)



L5 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:369242 CAPLUS
DOCUMENT NUMBER: 142:423890
TITLE: 8-Methyl-8-aza-bicyclo[3.2.1]octane derivative
muscarinic acetylcholine receptor antagonists, their
preparation, and their therapeutic use
INVENTOR(S): Palovich, Michael R.; Wan, Zehong; Zhu, Chongjie
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 15 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005037224	A2	20050428	WO 2004-US34234	20041015
WO 2005037224	A3	20050623		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004281167	A1	20050428	AU 2004-281167	20041015
CA 2542636	A1	20050428	CA 2004-2542636	20041015
EP 1677796	A2	20060712	EP 2004-795406	20041015
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR			
BR 2004015281	A	20061219	BR 2004-15281	20041015
CN 1897947	A	20070117	CN 2004-80038046	20041015
JP 2007509061	T	20070412	JP 2006-535384	20041015
IN 2006DN01989	A	20070803	IN 2006-DN1989	20060412
US 20070135478	A1	20070614	US 2006-575837	20060413
KR 2007017965	A	20070213	KR 2006-707165	20060414
MX 2006PA04242	A	20060628	MX 2006-PA4242	20060417
NO 2006002071	A	20060508	NO 2006-2071	20060508
PRIORITY APPLN. INFO.:			US 2003-512161P	P 20031017
			WO 2004-US34234	W 20041015

OTHER SOURCE(S): MARPAT 142:423890

AB 8-Methyl-8-aza-bicyclo[3.2.1]octane derivative muscarinic acetylcholine receptor antagonists are provided. Compound preparation is included. The compds. of the invention may be used to treat muscarinic acetylcholine receptor-mediated diseases.

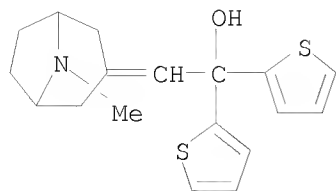
IT 850607-46-4P 850607-47-5P 850607-48-6P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(azabicyclooctane derivative muscarinic acetylcholine receptor antagonists, preparation, and therapeutic use)

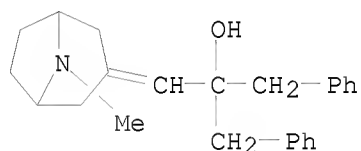
RN 850607-46-4 CAPLUS

CN 2-Thiophenemethanol, α -[(8-methyl-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- α -2-thienyl- (CA INDEX NAME)



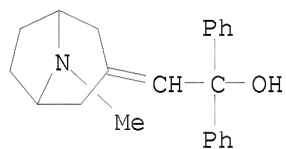
RN 850607-47-5 CAPLUS

CN Benzeneethanol, α -[(8-methyl-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- α -(phenylmethyl)- (CA INDEX NAME)



RN 850607-48-6 CAPLUS

CN Benzenemethanol, α -[(8-methyl-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- α -phenyl- (CA INDEX NAME)



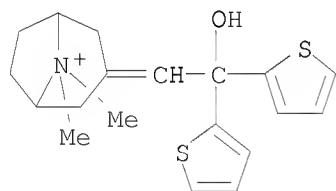
IT 850607-49-7P 850607-50-0P 850607-51-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(azabicyclooctane derivative muscarinic acetylcholine receptor antagonists, preparation, and therapeutic use)

RN 850607-49-7 CAPLUS

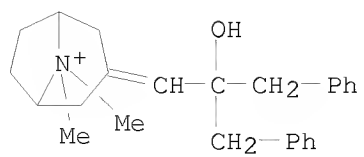
CN 8-Azoniabicyclo[3.2.1]octane, 3-(2-hydroxy-2,2-di-2-thienylethylidene)-8,8-dimethyl-, iodide (9CI) (CA INDEX NAME)



● I⁻

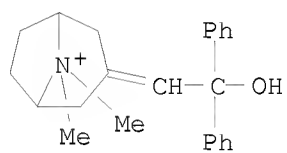
RN 850607-50-0 CAPLUS

CN 8-Azoniabicyclo[3.2.1]octane, 3-[2-hydroxy-3-phenyl-2-(phenylmethyl)propylidene]-8,8-dimethyl-, iodide (9CI) (CA INDEX NAME)



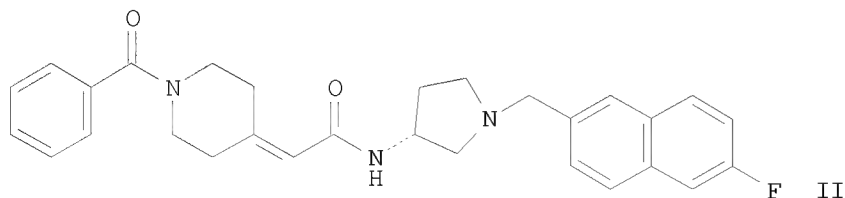
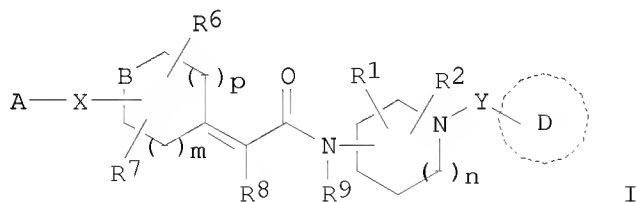
RN 850607-51-1 CAPLUS

CN 8-Azoniabicyclo[3.2.1]octane, 3-(2-hydroxy-2,2-diphenylethylidene)-8,8-dimethyl-, iodide (9CI) (CA INDEX NAME)



ACCESSION NUMBER: 2004:220312 CAPLUS
 DOCUMENT NUMBER: 140:270742
 TITLE: Preparation of (N-pyrrolidinyl)acrylamide derivatives
 as CCR3 antagonists for treatment of asthma
 INVENTOR(S): Morihira, Koichiro; Kubota, Hirokazu; Sato, Ippei;
 Yokoyama, Kazuhiro; Morokata, Tatsuaki; Yokota,
 Masaki; Imaoka, Takayuki; Kaneko, Masayuki; Funahashi,
 Miyuki; Kaneeda, Masanobu
 PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan; Toray
 Industries, Inc.
 SOURCE: PCT Int. Appl., 82 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004022535	A1	20040318	WO 2003-JP10845	20030827
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2004083511	A	20040318	JP 2002-248660	20020828
JP 2006076884	A	20060323	JP 2003-91009	20030328
AU 2003261756	A1	20040329	AU 2003-261756	20030827
PRIORITY APPLN. INFO.:			JP 2002-248660	A 20020828
			JP 2003-91009	A 20030328
			WO 2003-JP10845	W 20030827
OTHER SOURCE(S):			MARPAT 140:270742	
GI				



AB The title acrylamide derivs. with general formula of I [wherein B = O, S,

SO, SO₂, (un)substituted CH₂, or NH; A = H, (un)substituted hydrocarbyl, or heterocyclyl; X = a single bond, alkenylene, alkynylene, O, S, SO, SO₂, CO, CO₂, (un)substituted NH, CONH, NHCO, etc.; R₆ and R₇ = independently H, halo, CN, CONH₂, CO₂H, (un)substituted OH, etc.; p = 0-2; m = 0-2; n = 0-2; Y = oxo, (un)substituted alkylene, or alkenylene; R₈ = H, halo, or (un)substituted alkyl; R₉ = H or alkyl; R₁ and R₂ = independently H, halo, CN, CONH₂, CO₂H, (un)substituted OH, etc.; ring D = (un)substituted aryl, heterocyclyl, cycloalkyl, etc.] or pharmaceutically acceptable salts thereof are prepared as chemokine receptor (CCR) 3 antagonists. For example, the compound II was prepared in a multi-step synthesis. Some of compds. I showed inhibitory activity with IC₅₀ of <10 μM against human CCR3 in vitro. I are efficacious in treating diseases in which CCR3 participates, for example, asthma (no data).

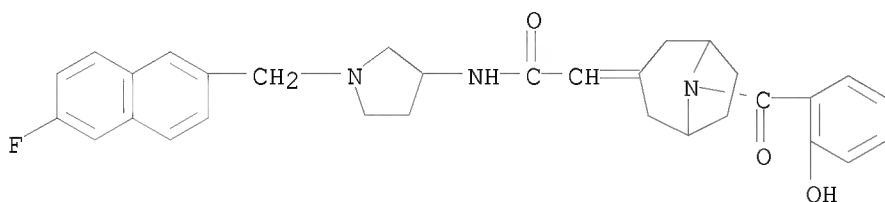
IT 672957-66-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of (N-pyrrolidinyl)acrylamide derivs. as CCR3 antagonists for treatment of asthma)

RN 672957-66-3 CAPLUS

CN Acetamide, N-[1-[(6-fluoro-2-naphthalenyl)methyl]-3-pyrrolidinyl]-2-[8-(2-hydroxybenzoyl)-8-azabicyclo[3.2.1]oct-3-ylidene]- (CA INDEX NAME)



IT 672957-80-1P 672957-82-3P

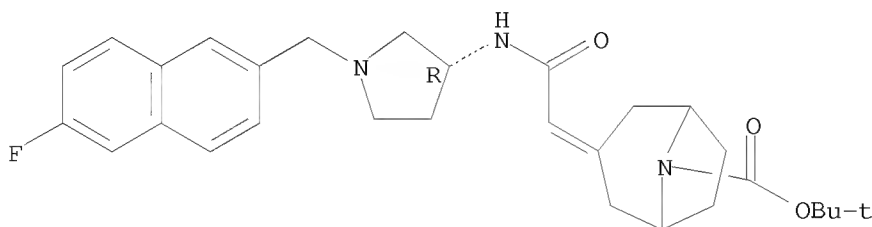
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of (N-pyrrolidinyl)acrylamide derivs. as CCR3 antagonists for treatment of asthma)

RN 672957-80-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-[2-[[[(3R)-1-[(6-fluoro-2-naphthalenyl)methyl]-3-pyrrolidinyl]amino]-2-oxoethylidene]-, 1,1-dimethylethyl ester (CA INDEX NAME)

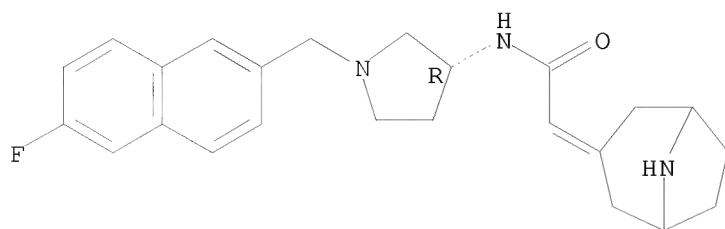
Absolute stereochemistry.



RN 672957-82-3 CAPLUS

CN Acetamide, 2-(8-azabicyclo[3.2.1]oct-3-ylidene)-N-[(3R)-1-[(6-fluoro-2-naphthalenyl)methyl]-3-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN

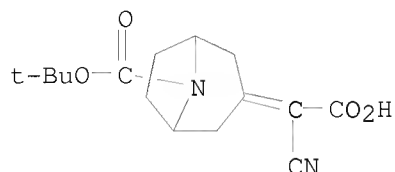
ACCESSION NUMBER: 2003:301040 CAPLUS
DOCUMENT NUMBER: 138:321135
TITLE: Preparation of N-(piperidin-4-ylcarbonyl)
acylsulfonamides as inhibitors of steroid sulfatase
INVENTOR(S): Horvath, Amarylla; Lehr, Philipp; Nussbaumer, Peter;
Schreiner, Erwin Paul
PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma G.m.b.H.
SOURCE: PCT Int. Appl., 126 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003031397	A1	20030417	WO 2002-EP11140	20021004
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NO, NZ, OM, PH, PL, PT, RO, RU, SE, SG, SI, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW				
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR				
CA 2458453	A1	20030417	CA 2002-2458453	20021004
AU 2002350490	A1	20030422	AU 2002-350490	20021004
EP 1436253	A1	20040714	EP 2002-785159	20021004
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002013131	A	20040921	BR 2002-13131	20021004
HU 2004001687	A2	20041129	HU 2004-1687	20021004
CN 1564811	A	20050112	CN 2002-819757	20021004
JP 2005504843	T	20050217	JP 2003-534381	20021004
NZ 532072	A	20070223	NZ 2002-532072	20021004
RU 2320643	C2	20080327	RU 2004-114244	20021004
ZA 2004001301	A	20041119	ZA 2004-1301	20040218
NO 2004000960	A	20040305	NO 2004-960	20040305
MX 2004PA03236	A	20040723	MX 2004-PA3236	20040405
IN 2004CN00702	A	20060113	IN 2004-CN702	20040405
US 20050059712	A1	20050317	US 2004-490464	20041001
PRIORITY APPLN. INFO.:			GB 2001-24027	A 20011005
			GB 2001-24028	A 20011005
			GB 2001-24839	A 20011016
			GB 2001-27173	A 20011112
			GB 2001-27174	A 20011112
			GB 2001-27343	A 20011114
			GB 2002-11524	A 20020520
			WO 2002-EP11140	W 20021004

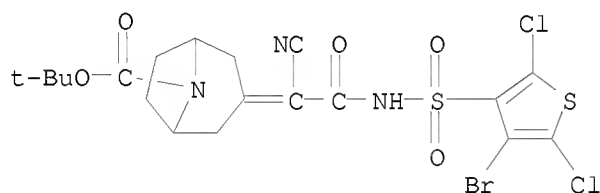
OTHER SOURCE(S): MARPAT 138:321135

AB The title compds. with general formula of R1-(CH2)m-SO2NHCO-(CH2)n-R2 [wherein R1 = haloalkyl, (un)substituted alkenyl, thienyl, Py, benzothiazolyl, chromanyl, or aryl; R2 = (un)substituted alkenyl, alkyl, cyclyl, bicycyl, or tricycyl, etc.; m and n = independently 0-4; with exclusions] are prepared as inhibitors of steroid sulfatase. For example, 4-bromo-2,5-dichlorothiophene-3-sulfonyl chloride was treated with aqueous NH3 in AcOEt to give 4-bromo-2,5-dichlorothiophene-3-sulfonamide. The sulfonamide was reacted with 1-(tert-butoxycarbonyl)piperidine-4-carboxylic acid in DMF in the presence of DMAP, DIEA, and EDC to afford 4-(4-bromo-2,5-dichlorothiophene-3-sulfonylaminocarbonyl)piperidine-1-carboxylic acid tert-Bu ester. The invention compds. showed IC50 of 0.0046 to 0.29 μ M against human steroid sulfatase.

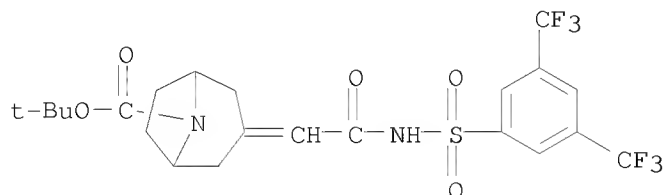
IT 512822-38-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; preparation of N-(piperidinylcarbonyl) acylsulfonamides as inhibitors of steroid sulfatase)
 RN 512822-38-7 CAPLUS
 CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-(carboxycyanomethylene)-, 8-(1,1-dimethylethyl) ester (CA INDEX NAME)



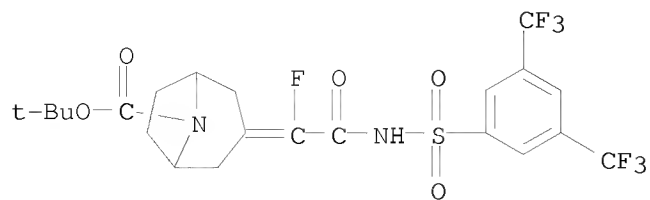
IT 512821-16-8P 512821-27-1P 512821-29-3P
 512821-30-6P 512821-31-7P 512821-32-8P
 512821-33-9P 512821-34-0P 512821-35-1P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (steroid sulfatase inhibitor; preparation of N-(piperidinylcarbonyl) acylsulfonamides as inhibitors of steroid sulfatase)
 RN 512821-16-8 CAPLUS
 CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-[2-[[4-bromo-2,5-dichloro-3-thienyl)sulfonyl]amino]-1-cyano-2-oxoethylidene]-, 1,1-dimethylethyl ester (CA INDEX NAME)



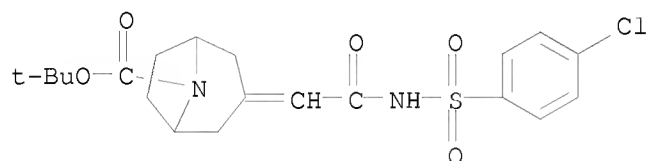
RN 512821-27-1 CAPLUS
 CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-[2-[[[3,5-bis(trifluoromethyl)phenyl)sulfonyl]amino]-2-oxoethylidene]-, 1,1-dimethylethyl ester (CA INDEX NAME)



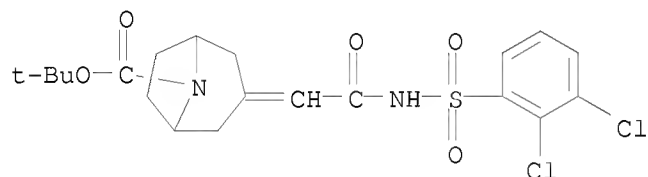
RN 512821-29-3 CAPLUS
 CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-[2-[[[3,5-bis(trifluoromethyl)phenyl)sulfonyl]amino]-1-fluoro-2-oxoethylidene]-, 1,1-dimethylethyl ester (CA INDEX NAME)



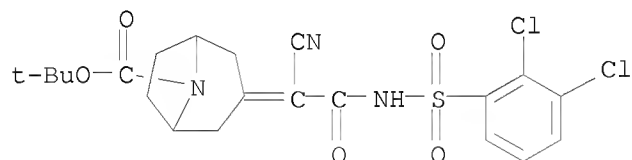
RN 512821-30-6 CAPLUS
 CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-[2-[[4-chlorophenyl]sulfonyl]amino]-2-oxoethylidene]-, 1,1-dimethylethyl ester
 (CA INDEX NAME)



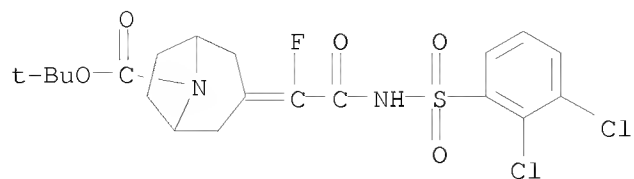
RN 512821-31-7 CAPLUS
 CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-[2-[[2,3-dichlorophenyl]sulfonyl]amino]-2-oxoethylidene]-, 1,1-dimethylethyl ester
 (CA INDEX NAME)



RN 512821-32-8 CAPLUS
 CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-[1-cyano-2-[[2,3-dichlorophenyl]sulfonyl]amino]-2-oxoethylidene]-, 1,1-dimethylethyl ester
 (CA INDEX NAME)

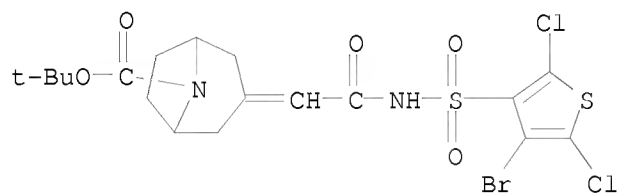


RN 512821-33-9 CAPLUS
 CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-[2-[[2,3-dichlorophenyl]sulfonyl]amino]-1-fluoro-2-oxoethylidene]-, 1,1-dimethylethyl ester (CA INDEX NAME)



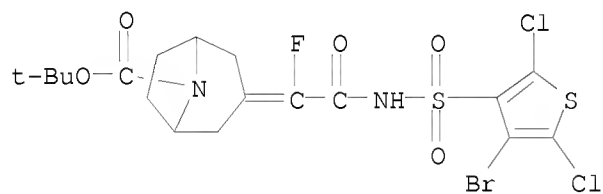
RN 512821-34-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-[2-[[4-bromo-2,5-dichloro-3-thienyl)sulfonyl]amino]-2-oxoethylidene]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 512821-35-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-[2-[[4-bromo-2,5-dichloro-3-thienyl)sulfonyl]amino]-1-fluoro-2-oxoethylidene]-, 1,1-dimethylethyl ester (CA INDEX NAME)



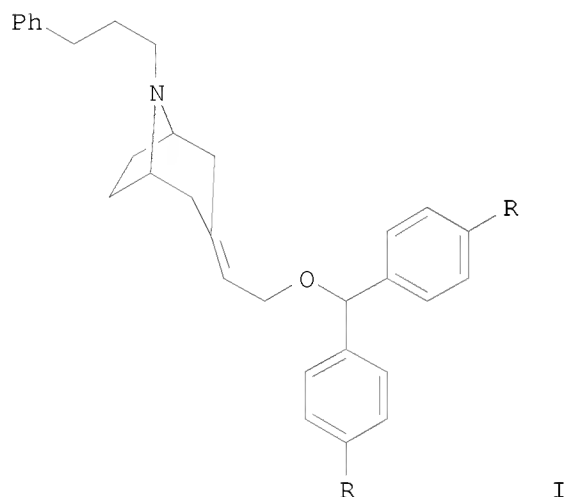
REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:189370 CAPLUS
DOCUMENT NUMBER: 139:52839
TITLE: Synthesis of dopamine transporter selective
3-{2-(Diarylmethoxyethylidene))-8-alkylaryl-8-
azabicyclo[3.2.1]octanes
AUTHOR(S): Bradley, Amy L.; Izenwasser, Sari; Wade, Dean;
Cararas, Shaine; Trudell, Mark L.
CORPORATE SOURCE: Department of Chemistry, University of New Orleans,
New Orleans, LA, 70148, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2003),
13(4), 629-632
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:52839
GI



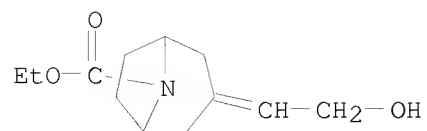
AB A series of 3-{2-(diarylmethoxyethylidene))-8-alkylaryl-8-azabicyclo[3.2.1]octanes was synthesized and the binding affinities of the compds. were determined at the dopamine and serotonin transporters. The 8-phenylpropyl analogs I [R = H (K_i =4.1 nM); R = F (K_i =3.7 nM)] were the most potent compds. of the series with binding affinities 3 times greater than GBR-12909. In addition, I (R = H; SERT/DAT=327) was over 300-fold more selective for the dopamine transporter than the serotonin transporter.

IT 548458-83-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of Et (hydroxyethylidene)azabicyclooctanecarbamate via demethylation/carbonylation of tropinone with Et chloroformate followed by olefination with di-Me (methoxycarbonyl)methylphosphonate, and reduction)

RN 548458-83-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-(2-hydroxyethylidene)-, ethyl ester (CA INDEX NAME)



REFERENCE COUNT:

24

THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:749720 CAPLUS

DOCUMENT NUMBER: 136:37802

TITLE: Synthesis and biological evaluation of tropane-like
1-{2-[bis(4-fluorophenyl)methoxy]ethyl}-4-(3-
phenylpropyl)piperazine (GBR 12909) analogs

AUTHOR(S): Zhang, Ying; Joseph, David B.; Bowen, Wayne D.;
Flippen-Anderson, Judith L.; Dersch, Christina M.;
Rothman, Richard B.; Jacobson, Arthur E.; Rice, Kenner
C.

CORPORATE SOURCE: Laboratory of Medicinal Chemistry National Institute
of Diabetes and Digestive and Kidney Diseases,
National Institutes of Health, Bethesda, MD,
20892-0815, USA

SOURCE: Journal of Medicinal Chemistry (2001), 44(23),
3937-3945

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 136:37802

AB The authors have prepared azabicyclo[3.2.1] derivs. (C-3-substituted
tropanes) that bind with high affinity to the dopamine transporter and
inhibit dopamine reuptake. Within the series, 3-{2-[bis-(4-
fluorophenyl)methoxy]ethylidene}-8-methyl-8-azabicyclo[3.2.1]octane (I)
was found to have the highest affinity and selectivity for the dopamine
transporter. These azabicyclo[3.2.1] (bridged piperidine) series of
compds. differ from the well-known benztropines by a 2-carbon spacer
between C-3 and a diarylmethoxy moiety. Interestingly, these new compds.
demonstrated a much lower affinity for the muscarinic-1 site, at least a
100-fold decrease compared to benztropine. Interestingly, these new
compds. demonstrated a much lower affinity for the muscarinic-1 site, at
least a 100-fold decrease compared to benztropine. Replacing N-Me with
N-phenylpropyl in two of the compds. resulted in a 3-10-fold increase in
binding affinity for the dopamine transporter. However, those compds.
lost selectivity for the dopamine transporter over the serotonin
transporter. Replacement of the ether oxygen in the diarylmethoxy moiety
with a nitrogen atom gave relatively inactive amines, indicating the
important role which is played by the ether oxygen in transporter binding.
Reduction of the C-3 double bond in I gave 3 α -substituted tropanes, as
shown by X-ray crystallog. analyses. The 3 α -substituted tropanes
had lower affinity and less selectivity than the comparable unsatd.
ligands.

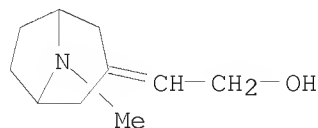
IT 380601-96-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation, muscarinic M1 receptor, dopamine and serotonin transporter
affinity, and structure-activity relationship of azabicyclooctane
derivs. as GBR 12909 analogs)

RN 380601-96-7 CAPLUS

CN Ethanol, 2-(8-methyl-8-azabicyclo[3.2.1]oct-3-ylidene)- (CA INDEX NAME)



REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

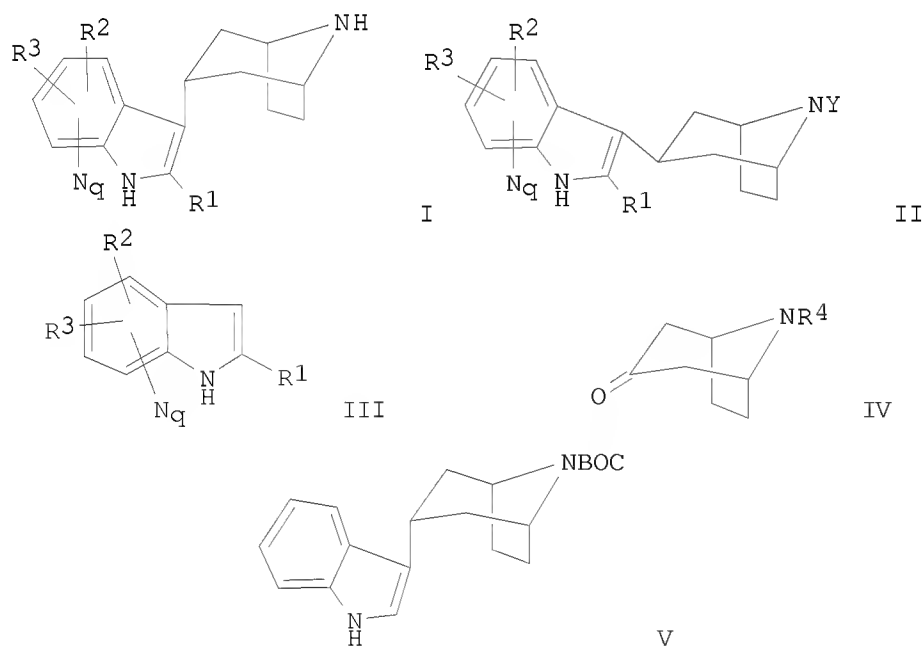
ACCESSION NUMBER: 2001:152680 CAPLUS
 DOCUMENT NUMBER: 134:208001
 TITLE: Process for preparation of indolyltropane derivatives
 INVENTOR(S): Forbes, Ian Thomson
 PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK
 SOURCE: PCT Int. Appl., 16 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001014374	A2	20010301	WO 2000-EP7697	20000808
WO 2001014374	A3	20011011		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 1999-19843 A 19990820

OTHER SOURCE(S): CASREACT 134:208001; MARPAT 134:208001
GI



AB A process is described for the stereoselective preparation of exo- and endo-indolyltropanes I and II (R1 = H or (C1-6)alkyl; R2 and R3 may be the same or different, are selected from H, halo, cyano, (C1-6)alkyl,

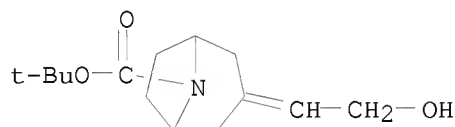
(C3-7)cycloalkyl, (C1-6)alkoxy, halo(C1-6)alkyl, hydroxy, oxo, amino, mono- or di-(C1-6)alkylamino, acylamino, nitro, carboxy, (C1-6)alkoxycarbonyl, (C1-6)alkenyloxycarbonyl, (C1-6)alkoxycarbonyl(C1-6)alkyl, carboxy(C1-6)alkyl, (C1-6)alkylcarbonyloxy, carboxy(C1-6)alkyloxy, (C1-6)alkoxycarbonyl(C1-6)alkoxy, (C1-6)alkylthio, (C1-6)alkylsulfinyl, (C1-6)alkylsulfonyl, sulfamoyl, mono- and di-(C1-6)-alkylsulfamoyl, carbamoyl, mono- and di-(C1-6)alkylcarbamoyl, (C1-6)alkylsulfonamido, arylsulfonamido, aryl, aryl(C1-6)alkyl, aryl(C1-6)alkoxy, aryloxy, and heterocyclyl; Y = H, nitrogen protecting group or an organic substituent; and Nq represents optional ring nitrogen atoms in positions 4, 5, 6, and 7; wherein q is 0, 1 or 2) by reaction of the indoles III with tropanes IV (R4 = H, BOC) followed by hydrogenation. Thus, N-(benzyloxycarbonyl)tropinone was condensed with indole in AcOH containing AcOH and the product hydrogenated in EtOH in presence of Pd followed by reaction with di-tert-Bu dicarbonate to give the indolyltropane V.

IT 257628-74-3P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for preparation of indolyltropane derivs.)

RN 257628-74-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-(2-hydroxyethylidene)-, 1,1-dimethylethyl ester (CA INDEX NAME)



L5 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:808199 CAPLUS
DOCUMENT NUMBER: 132:152008
TITLE: Highly stereoselective synthesis of exo and endo indolotropanes
AUTHOR(S): Forbes, Ian T.
CORPORATE SOURCE: SmithKline Beecham Pharmaceuticals, New Frontiers Science Park, Essex, CM19 5AD, UK
SOURCE: Tetrahedron Letters (1999), 40(52), 9293-9295
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 132:152008

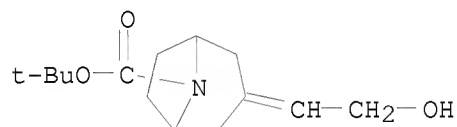
AB Highly stereoselective routes to exo and endo indolotropanes have been developed. This provides a facile route to these bicyclic analogs of the pharmaceutically active indolopiperidine motif.

IT 257628-74-3

RL: RCT (Reactant); RACT (Reactant or reagent)
(highly stereoselective synthesis of exo and endo indolotropanes)

RN 257628-74-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-(2-hydroxyethylidene)-, 1,1-dimethylethyl ester (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:237743 CAPLUS

DOCUMENT NUMBER: 129:4602

TITLE: 5-HT3 and 5-HT4 receptor affinities of
naphtho[1,2-d]thiazole derivatives with various basic
side chains

AUTHOR(S): Perrone, Roberto; Berardi, Francesco; Colabufo, Nicola
A.; Leopoldo, Marcello; Tortorella, Vincenzo

CORPORATE SOURCE: Dip. Farmaco-Chimico, Bari, 70126, Italy

SOURCE: Medicinal Chemistry Research (1997), 7(9), 519-529

CODEN: MCREEB; ISSN: 1054-2523

PUBLISHER: Birkhaeuser Boston

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Several 2-piperidinyl- and 2-(piperazinyl)alkyl-substituted derivs. of
8,9-dihydronaphtho[1,2-d]thiazole and some related compds. were prepared and
studied in serotonin 5-HT3 and 5-HT4 and dopamine D2 receptor binding
assays. The naphthothiazole group linked to N-methylpiperazine led to a
good 5-HT3 affinity (IC50=11 nM) and high selectivity vs. 5-HT4 and D2
receptors (IC50=1360 nM and IC50 > 10000 nM, resp.). Replacement of the
piperazine ring with other heterocycles lowered the 5-HT3 receptor
affinity to a 310-3600 nM range and the selectivity vs. 5-HT4 receptors
disappeared.

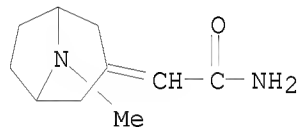
IT 207406-57-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(5-HT3 and 5-HT4 receptor affinities of naphtho[1,2-d]thiazole derivs.)

RN 207406-57-3 CAPLUS

CN Acetamide, 2-(8-methyl-8-azabicyclo[3.2.1]oct-3-ylidene)- (CA INDEX NAME)



REFERENCE COUNT:

13

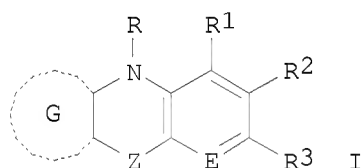
THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:126254 CAPLUS
DOCUMENT NUMBER: 128:204878
TITLE: Preparation of pyrazinobenzothiazine derivatives and
analogues for the treatment of inflammation and
autoimmune diseases
INVENTOR(S): Kaneko, Toshihiko; Clark, Richard; Ohi, Norihito;
Ozaki, Fumihiro; Kawahara, Tetsuya; Kamada, Atsushi;
Okano, Kazuo; Yokohama, Hiromitsu; Muramoto, Kenzo;
Arai, Tohru; Ohkuro, Masayoshi; Takenaka, Osamu;
Sonoda, Jiro
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 1344 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9806720	A1	19980219	WO 1997-JP2787	19970808
W: AU, CA, CN, HU, JP, KR, MX, NO, NZ, RU, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2262569	A1	19980219	CA 1997-2262569	19970808
AU 9737849	A	19980306	AU 1997-37849	19970808
ZA 9707103	A	19990208	ZA 1997-7103	19970808
EP 934941	A1	19990811	EP 1997-934750	19970808
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 4028894	B2	20071226	JP 1998-509589	19970808
US 6518423	B1	20030211	US 1999-230852	19990405
US 20040092737	A1	20040513	US 2002-247310	20020920
PRIORITY APPLN. INFO.:			JP 1996-210344	A 19960809
			WO 1997-JP2787	W 19970808
			US 1999-230852	A3 19990405

OTHER SOURCE(S): MARPAT 128:204878
GI



AB The title compds. I [R1 to R3 are the same or different and each represents hydrogen, optionally substituted lower alkyl, optionally substituted cycloalkyl, etc., provided that when R1 to R3 are all optionally substituted lower alkyl groups, they do not simultaneously represent Me groups; R represents hydrogen, lower alkyl, etc.; E represents N, C, etc.; Z represents O, S, SO, SO2, etc.; and the ring G represents an optionally substituted heteroaryl ring having at least one nitrogen atom] are prepared I are useful in the treatment and prevention of inflammatory immunol. diseases, autoimmune diseases, rheumatism, collagen disease, asthma, nephritis, ischemic reflow disorders, psoriasis, atopic dermatitis or rejection reactions following organ transplantation. The compound (syn)-[3-(10H-pyrazino[2,3-b][1,4]benzothiazin-8-ylmethyl)-3-azabicyclo[3.3.1]nona-9-yl]acetic acid (II) at 10 mg/kg orally gave 65% inhibition of carrageenin-induced inflammation in rats. II in vitro

showed IC50 of 2.3 μ M against the expression of ICAM-1.

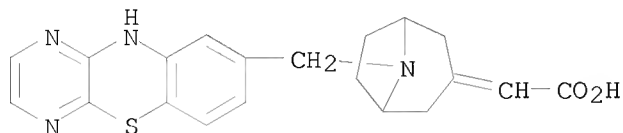
IT 203647-30-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazinobenzothiazine derivs. and analogs for treatment of inflammation and autoimmune diseases)

RN 203647-30-7 CAPLUS

CN Acetic acid, [8-(1H-pyrazino[2,3-b][1,4]benzothiazin-8-ylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

46

THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:834157 CAPLUS

DOCUMENT NUMBER: 124:55731

TITLE: New 5-HT₃ (serotonin-3) receptor antagonists. IV. Synthesis and structure-activity relationships of azabicycloalkaneacetamide derivatives

AUTHOR(S): Kato, Masayuki; Ito, Kiyotaka; Nishino, Shigetaka; Yamakuni, Hisashi; Takasugi, Hisashi

CORPORATE SOURCE: New Drug Res. Lab., Fujisawa Pharm. Co., Ltd., Osaka, 532, Japan

SOURCE: Chemical & Pharmaceutical Bulletin (1995), 43(8), 1351-7

CODEN: CPBTAL; ISSN: 0009-2363

PUBLISHER: Pharmaceutical Society of Japan

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The synthesis and structure-activity relationships of a series of new azabicycloalkanes as 5-HT₃ (serotonin-3) receptor antagonists are described. Our study on the azabicycloalkaneacetamide derivs. showed that 2,3-dihydroindole as the aromatic ring moiety afforded potent 5-HT₃ receptor antagonist activity, as judged by blockade of bradycardia induced by i.v. injection of 2-methylserotonin in anesthetized rats. 7-Azaindole as the aromatic moiety afforded weak 5-HT₃ receptor antagonists activity. The best 5-HT₃ antagonists in this study were endo-3,3-diethyl- and 3,3-dimethyl-2,3-dihydro-1-[(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)acetyl]-1H-indole, being approx. 10-fold more potent than ondansetron. This study shows that the azabicycloalkaneacetyl group is a new pharmacophoric element as a basic nitrogen and a linking carbonyl moiety.

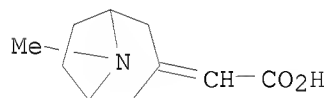
IT 5811-04-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation and structure-activity relationships of serotonin receptor antagonist azabicycloalkaneacetamides)

RN 5811-04-1 CAPLUS

CN Acetic acid, (8-methyl-8-azabicyclo[3.2.1]oct-3-ylidene)- (9CI) (CA INDEX NAME)



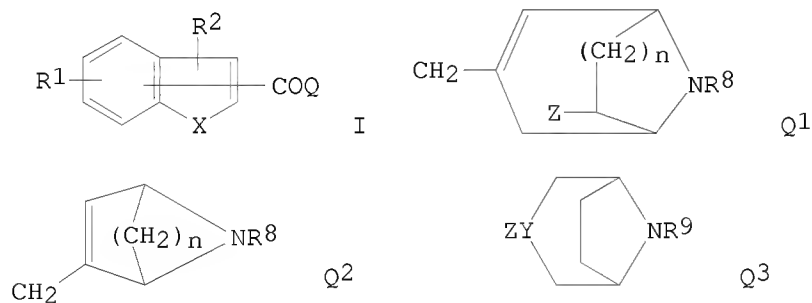
ACCESSION NUMBER: 1989:594605 CAPLUS
 DOCUMENT NUMBER: 111:194605
 ORIGINAL REFERENCE NO.: 111:32346h,32347a
 TITLE: Carbocyclic and heterocyclic carbonylmethylene- and carbonylmethylpiperidines and -pyrrolidines as serotonin antagonists
 INVENTOR(S): Richardson, Brian P.; Giger, Rudolf K. A.; Engel, Guenter; Furler, Roland
 PATENT ASSIGNEE(S): Sandoz A.-G., Switz.
 SOURCE: U.S., 14 pp. Cont.-in-part of U.S. Ser. No. 49,757, abandoned.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4826838	A	19890502	US 1987-70451	19870707
BE 903984	A1	19860707	BE 1986-11412	19860106
FR 2575750	A1	19860711	FR 1986-147	19860106
FR 2575750	B1	19880909		

PRIORITY APPLN. INFO.:

DE 1985-3500289	A	19850107
DE 1985-3500290	A	19850107
US 1986-815617	A1	19860102
CH 1987-759	A	19870227
GB 1987-5285	A	19870306
US 1987-49757	A2	19870513

OTHER SOURCE(S): MARPAT 111:194605
GI



AB Title compds. I [X = CH₂, O, S, NR₃; R₁, R₂ = H, halo, C1-4 alkyl, C1-4 alkoxy, OH, (mono- or di-C1-4 alkyl)amino, SH, C1-4 alkylthio; R₃ = H, C1-4 alkyl, C3-5 alkenyl, (mono-C1-4 alkyl-, halo-, OH-, C1-4 alkoxy-, or phenyl-C1-4 allyl-substituted) Ph; Q = bicycylmethyl, e.g. Q₁ [R₈ = H, C1-4 alkyl, (substituted) Ph, alkenyl n = 1-3; Z = H, C1-4 alkoxy, Q₂ (II), 2,3,4,5-R₄R₅R₆R₇C₆HCOQ [R₄-R₇ = H, (mono- or di-C1-4 alkyl-substituted) amino, NO₂, halo, C1-4 alkoxy, C1-4 alkyl, C1-4 alkanoylamino, pyrrolyl] (III), and I (X = NH, S; R₁ = H; R₂ = H, C1-4 alkyl; Q = Q₁, Q₃, R₉ = C1-4 alkyl; Y = CH:C, CH₂CH) (IV) are prepared, as analgesics, antiarrhythmics and for treating gastrointestinal disorders. Wittig reaction of tropinone with Ph₃P:CHCO₂Me in C₆H₆ in the presence of PhCO₂H gave Q₃CO₂Me (R₉ = Me; ZY = CH:C), which was converted to Q₃COCl in two steps followed by condensation with indole pretreated with MeMgI to afford I (R₁ = R₂ = H; X = NH; Q = Q₃; ZY = CH:C, R₉ = Me) (V). II, III,

and IV inhibited 5-hydroxytryptophan-induced gastrointestinal motility in mice at 0.05-1 mg/kg i.v. and 0.1-3.0 mg/kg p.o. Tablets were formulated containing V 15.0, hydroxypropylcellulose 1.2, corn starch 13.0, lactose 93.7, silica 0.6, and Mg stearate 15 mg.

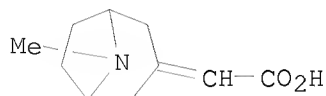
IT 5811-04-1P 123368-82-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, in preparation of serotonin antagonist)

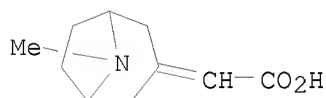
RN 5811-04-1 CAPLUS

CN Acetic acid, (8-methyl-8-azabicyclo[3.2.1]oct-3-ylidene)- (9CI) (CA INDEX NAME)



RN 123368-82-1 CAPLUS

CN Acetic acid, (8-methyl-8-azabicyclo[3.2.1]oct-3-ylidene)-, hydrochloride (9CI) (CA INDEX NAME)



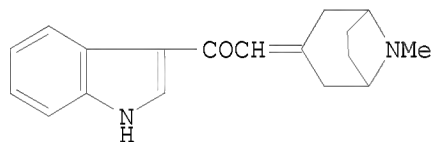
● HCl

L5 ANSWER 16 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1986:608764 CAPLUS
DOCUMENT NUMBER: 105:208764
ORIGINAL REFERENCE NO.: 105:33663a, 33666a
TITLE: Carbocyclic and heterocyclic carbonyl methylene- and
-methylpiperidines and -pyrrolidines
INVENTOR(S): Richardson, Brian; Giger, Rudolf; Engel, Guenter;
Furler, Roland
PATENT ASSIGNEE(S): Sandoz-Patent-G.m.b.H., Fed. Rep. Ger.
SOURCE: Ger. Offen., 43 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3545981	A1	19860710	DE 1985-3545981	19851223
CH 667657	A5	19881031	CH 1986-6	19860102
GB 2169292	B	19880921	GB 1986-95	19860103
GB 2169292	A	19860709		
BE 903984	A1	19860707	BE 1986-11412	19860106
FR 2575750	A1	19860711	FR 1986-147	19860106
FR 2575750	B1	19880909		
JP 61161282	A	19860721	JP 1986-1233	19860106
PRIORITY APPLN. INFO.:			DE 1985-3500289	A 19850107
			DE 1985-3500290	A 19850107
OTHER SOURCE(S):			CASREACT 105:208764; MARPAT 105:208764	

GI



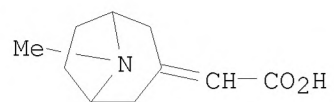
AB Carbocyclic and heterocyclic carbonylmethylene- and -methylpiperidines and -pyrrolidines, whose piperidine and pyrrolidine rings are bridged with an alkylene bridge and optionally unsatd., with the condition, that in case the alkylene-bridged piperidine ring is a quinuclidine ring bound in the 3 position, the carbocyclic carbonylmethyl and carbonylmethylene groups are not PhCOCH₂ and PhCOCH: groups, as well as in case the alkylene bridged piperidine ring is a 3-tropanyl group, the carbocyclic carbonylmethyl group is not PhCOCH₂. The compds. are analgesics, antiarrhythmics, 5HT-3-receptor antagonists and are useful in treating migraines and gastrointestinal disorders. Detailed information concerning tests and dosages was given. In an example, I was prepared in 4 steps from Ph₃P:CHCO₂Me, BzOH, and tropinone in C₆H₆.

IT 5811-04-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and conversion of, to acid chloride)

RN 5811-04-1 CAPLUS

CN Acetic acid, (8-methyl-8-azabicyclo[3.2.1]oct-3-ylidene)- (9CI) (CA INDEX NAME)



=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

90.08

268.65

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-12.80

-12.80

STN INTERNATIONAL LOGOFF AT 15:57:09 ON 17 APR 2008